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FILE 'HCAPLUS' ENTERED AT 16:11:59 ON 16 MAR 2010
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L2
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L3
             15 S L1 AND L2
L4
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L5
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L6
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L7
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L8
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L16
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L19
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L21
L22
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L23
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4 S L19 AND L23

L24

=> file hcaplus COST IN U.S. DOLLARS SINCE FILE TOTAL. ENTRY SESSION FILL ESTIMATED COST 0.22 0.22

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FILE COVERS 1907 - 16 Mar 2010 VOL 152 ISS 12 FILE LAST UPDATED: 15 Mar 2010 (20100315/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s polysialic or polysialate or colominic

861 POLYSIALIC 274 POLYSIALATE

307 COLOMINIC

1163 POLYSIALIC OR POLYSIALATE OR COLOMINIC

=> s (reducing end) 474652 REDUCING 670314 END

1.2 2358 (REDUCING END) (REDUCING(W) END)

=> s 11 and 12 L3 15 L1 AND L2

=> s conjugat? L4 280618 CONJUGAT?

=> s 13 and 14 L5 4 L3 AND L4

=> s 13 and (PY<2004 or AY<2004 or PRY<2004) 24050493 PY<2004

4827512 AY<2004 4301088 PRY<2004

=> d 16 1-9 ti abs bib

- 6 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Sialic acid derivatives for protein derivatization and conjugation
- AB Derivs. are synthesized of starting materials, usually polysaccharides, having sialic acid at the reducing terminal end, in which the reducing terminal unit is transformed into an aldehyde group. Where the polysaccharide has a sialic acid unit at the non-reducing end it may be passivated, for instance by converting into hydroxyl-substituted moiety. The derivs. may be reacted with substrates, for instance containing amine or hydrazine groups, to form non-cross-linked polysialylated compds. The substrates may, for instance, be therapeutically useful drugs peptides or proteins or drug delivery systems. Insulin and polysialylated insulin were tested for their ability to reduce blood glucose level in normal female T/O outbred mice (22-24 g body weight).
- AN 2005:158700 HCAPLUS <<LOGINID::20100316>>
- DN 142:240674
 - TI Sialic acid derivatives for protein derivatization and conjugation
 - IN Jain, Sanjay; Laing, Peter; Gregoriadis, Gregory; Hreczuk-Hrist, Dale Howard; Papaoannou, Yiannis
 - PA Lipoxen Technologies Limited, UK
 - SO PCT Int. Appl., 82 pp.
- CODEN: PIXXD2
- DT Patent LA English
- FAN.CNT 3

	PATENT NO.					KIN					APPLICATION NO.									
PI	WO	2005016974									WO 2004-GB3511									
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	WO 2006016161				A1		2006	20060216			WO 2005-GB3149									
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	CN	101039964	A	20070919	CN 2005-80034509	20050812					
	JP	2008510024	T	20080403	JP 2007-525353	20050812					
	US	20070191597	A1	20070816	US 2006-568043	20061201 <					
	US	20080132696	A1	20080605	US 2007-660133	20070828					
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	WO	2004-GB3511	W	20040812							
	EP	2005-251016	A	20050223							
	WO	2005-GB3149	W	20050812							

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 142:240674

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Progress in the synthesis of C-glycoside analogues of biologically important glycoconjugates
- AB Ulosonic acids are distinctive, functionally diverse monosaccharides with an anomeric carboxylic acid moiety, a deoxygenated C-3 ring carbon, and a glycerol side chain at the C-6 position. The ulosonic acid, N-acetyl neuraminic acid (Neushc), is commonly found at the non-reducing end of cell surface glycan chains where it mediates biol. events including pathogen infection and propagation, and the inter- and intracellular processes of cell adhesion and signaling. While ulosonic acids are attractive targets for synthesis as small mol. inhibitors and vaccines, their lability and poor immunogenicity have led us to develop a synthetic strategy to prepare 'C'-glycoside analogs of some biol. relevant targets including sTn, GM3, GM4, GD3, and polysialic acid.
 Reductive coupling methodologies, pioneered in our lab, utilizing samarium iodide is an integral step in these onoging syntheses described.
- AN 2003:630130 HCAPLUS <<LOGINID::20100316>>
- TI Progress in the synthesis of C-glycoside analogues of biologically important glycoconjugates
- AU Linhardt, Robert J.; Ress, Dino K.; Sikkander, Sulthan A.; Chen, Chi-Chang
- CS Departments of Chemistry, Chemical Engineering and Biology, Rensselaer Polytechnic Institute, Troy, NY, 12180, USA
- SO Abstracts of Papers, 226th ACS National Meeting, New York, NY, United States, September 7-11, 2003 (2003), CARB-003 Publisher: American Chemical Society, Washington, D. C. CODEN: 69EKY9
- DT Conference; Meeting Abstract
- LA English
- L6 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Biosynthesis of the Escherichia coli K4 capsule polysaccharide. A parallel system for studies of glycosyltransferases in chondroitin formation
- AB E. coli K4 bacteria synthesize a capsule polysaccharide (GalNAc-GlcA(fructose))n with the carbohydrate backbone identical to chondroitin. GlcA- and GalNAc-transferase activities from the bacterial membrane were assayed with receptors derived from the capsule polysaccharide and radiolabeled UDP-[14C]GlcA and UDP-[3H]GalNAc, resp. Defructosylated oligosaccharides (chondroitin) could serve as substrates for both the GlcA- and the GalNAc-transferases. The radiolabeled products were completely degraded with chondroitinase AC; the [14C]GlcA unit could be removed by β-D-glucuronidase, and the [3H]GalNAc could be removed by β-N-acetylhexosaminidase. A fructosylated oligosaccharide acceptor tested for GlcA-transferase activity was inactive. These results

indicate that the chain elongation reaction of the K4 polysaccharide

proceeds in the same way as the polymerization of the chondroitin chain, by the addition of the monosaccharide units one by one to the nonreducing end of the polymer. This makes the biosynthesis of the K4 polysaccharide an interesting parallel system for studies of chondroitin sulfate biosynthesis. In the biosynthesis of capsule polysaccharides from E. coli, a similar mechanism has earlier been demonstrated for polysialic acid (NeuNAc)n and for the K5 polysaccharide (GlcAβ1-4GlcNAcα-4)n. In contrast, chain elongation of hvaluronan (GlcAB1-3GlcNAcB1-4)n is claimed to occur at the reducing end. 1997:97344 HCAPLUS <<LOGINID::20100316>>

AN

DN 126:183619

OREF 126:35401a

Biosynthesis of the Escherichia coli K4 capsule polysaccharide. A parallel ΤI system for studies of glycosyltransferases in chondroitin formation

AII Lidholt, Kerstin; Fjelstad, Maria

- CS Department Medical and Physiological Chemistry, University UPPSALA, Uppsala, S-751 23, Swed.
- Journal of Biological Chemistry (1997), 272(5), 2682-2687 SO CODEN: JBCHA3; ISSN: 0021-9258
- PB American Society for Biochemistry and Molecular Biology

DT Journal LA English

OSC.G 29

- THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS) RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN L6
- TI Synthesis, characterization and properties of sialylated catalase
- AB Colominic acid (CA), a α-(2→8) N-acetylneuraminic
 - acid (sialic acid) polymer (average mol. weight of 10 kDa) was activated by periodate oxidation of carbon 7 at the non-reducing end of the saccharide. The oxidized CA was then coupled to catalase by reductive amination in the presence of sodium cyanoborohydride. The extent of sialylation of catalase, estimated by ammonium sulfate precipitation

as 3.8±0.4 (mean±S.D.) moles of CA per mol of catalase, did not improve significantly when depolymd. CA was used in the coupling reaction. At the

end of the coupling reaction, sialylated catalase exhibited a two-fold (70%) retention of initial activity compared to enzyme controls (29-35%) subjected to the same conditions. Formation of sialvlated catalase was confirmed by ammonium sulfate or trichloroacetic acid precipitation, mol. sieve chromatog, and SDS-PAGE electrophoresis. Enzyme kinetics studies revealed an increase in the apparent Km of the enzyme from 70.0 (native) to 122.9 mmol 1-1 H2O2 (sialylated catalase) indicating a reduction of enzyme affinity for the substrate (hydrogen peroxide) on sialylation. Compared to native enzyme, sialylated catalase was much more stable in the presence of specific proteinases, completely resisting degradation by chymotrypsin and losing only some of its activity in the presence of trypsin. The increased stability conferred to catalase by sialylation agrees with similar observations on enzymes modified by other hydrophilic mols. (e.g., monomethoxypoly(ethyleneglycol)) and suggests that steric stabilization with the biodegradable polysialic acid may prove an alternative means to render therapeutic proteins more effective in vivo.

1996:173057 HCAPLUS <<LOGINID::20100316>>

DN 124:254533

OREF 124:47033a,47036a

- TT Synthesis, characterization and properties of sialylated catalase
- AU Fernandes, Ana I.; Gregoriadis, Gregory
- CS Centre for Drug Delivery Research, School of Pharmacy, University of London, 29/39, Brunswick Square, London, WC1N 1AX, UK

- SO Biochimica et Biophysica Acta, Protein Structure and Molecular Enzymology (1996), 1293(1), 90-6
- CODEN: BBAEDZ; ISSN: 0167-4838
- PB Elsevier B.V.
- DI Journal
- LA English
- OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
- L6 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Molecular mechanisms of capsule expression in Neisseria meningitidis serogroup B
- A review with 32 refs. The enzymes and proteins for biosynthesis and surface translocation of the capsular polysaccharide of N. meningitidis serogroup B, which consists of α -2,8 linked polysialic acid, are expressed b a 24 kb chromosomal gene cluster (cps). Within cps five functional regions have been identified. Region A encodes all enzymes necessary for polysialic acid biosynthesis. The capsular polysaccharide, which avs. 200 NeuNAc residues in length, is synthesized completely intracellularly. The gene products of region B substitute the polysaccharide chains with a phospholipid at the reducing end. Phospholipid substitution is crucial for translocation of the polysaccharide to the cell surface, which is directed by the gene products encoded by region C. The region C encoded proteins share strong homologies to members of the ABC (ATP-binding cassette) superfamily of active transporters. The same ATP-dependent transport mechanism for capsular polysaccharides also seems to direct capsular polysaccharides in H. influenzae and E. coli to the surface, suggesting a common evolutionary origin of capsule expression in these bacterial species.
- AN 1993:577176 HCAPLUS <<LOGINID::20100316>>
- DN 119:177176
- OREF 119:31579a,31582a
- TI Molecular mechanisms of capsule expression in Neisseria meningitidis serogroup B
- AU Frosch, Matthias; Edwards, Ulrike
- CS Inst. Med. Microbiol., Med. Sch. Hannover, Hannover, 3000/61, Germany
- SO Polysialic Acid (1993), 49-57. Editor(s): Roth, Juergen; Rutishauser, Urs; Troy, Frederick A., II. Publisher: Birkhaeuser, Basel, Switz. CODEN: 59FNAM
- DT Conference; General Review
- LA English
- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
- L6 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Giant liposomes as model membranes for immunological studies: spontaneous insertion of purified K1-antigen (poly- α -2,8-NeuAc) of Escherichia coli
- AB A flow chamber was constructed to use giant liposomes (diameter 5-50 $\mu m)$ as model membranes for immunol. studies and other expts. involving interaction with water-soluble compds. As an example of immunol. importance, the insertion of purified K-antigen from E. coli Kl was studied. Despite its large hydrophilic part (poly- α -2, 8-Neu&c), which is capped at its potential reducing end with phosphatidic acid acting as a lipid anchor group, this water-soluble material is readily incorporated into liposomal membranes of dimyristoylphosphatidylcholine (DMPC). Without the lipid residue, however, no binding of poly- α -2,8-Neu&c to the liposomes was observed. This could be shown by using colominic acid, an oligomeric form of α -2,8-Neu&c with free reducing ends instead of purified Kl-antigen. The possibility

for further manipulation of this model system was shown by using a

 $poly-\alpha-2$, 8-NeuAc cleaving enzyme (endoneuraminidase). The function of the endoneuraminidase was proven by showing no binding of the antibody after enzyme treatment of K1-bearing liposomes as well as by rapid loss of fluorescence of a previously bound FITC-antibody.

AN 1991:205340 HCAPLUS <<LOGINID::20100316>>

DN 114:205340

OREF 114:34609a,34612a

- Giant liposomes as model membranes for immunological studies: spontaneous insertion of purified K1-antigen (polv-a-2,8-NeuAc) of Escherichia
- ΑU Decher, Gero; Ringsdorf, Helmut; Venzmer, Joachim; Bitter-Suermann, Dieter; Weisgerber, Christoph
- Inst. Org. Chem., Johannes Gutenberg-Univ., Mainz, D-6500, Germany
- SO Biochimica et Biophysica Acta, Biomembranes (1990), 1023(3), 357-64

CODEN: BBBMBS; ISSN: 0005-2736

Journal DТ

LA English

- OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
- ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN 1.6
- TI Polyacrylamide gel electrophoresis of the capsular polysaccharides of Escherichia coli K1 and other bacteria
- AB Methods were developed for the polyacrylamide gel electrophoretic anal. of capsular polysaccharides of bacteria with E. coli K1 as a model. Conditions were determined for the rapid and gentle extraction of the K1 polysaccharide by incubation of the bacteria in a volatile buffer and for the subsequent removal of the putative phospholipid moiety attached to the reducing end of the polysaccharide. Detection of the polysaccharides after gel electrophoresis was carried out by fluorog. of samples labeled by NaB3H4 reduction or by combined alcian blue and Ag staining. The smallest components could be detected only by fluorog.,

owing to diffusion during staining. Components of the E. coli K1 polysialic acid capsule ranging from monomers to 80

sialic-acid-unit-containing polymers could be separated as distinct bands in a

ladderlike pattern. A maximum chain length of 160-230 sialyl residues was estimated for the bulk of the K1 polysaccharide from the nearly linear reciprocal relation between the logarithm of the mol. size and the distance of migration. Gel electrophoresis of capsular polysaccharides of other bacterial species revealed different electrophoretic mobilities for each polysaccharide, with a ladderlike pattern displayed by the

fastest-moving components. There are many potential applications of this facile method for the determination of the sizes of mols, in a polydisperse polysaccharide sample. When combined with the simple method for the isolation of the capsule, as in the case of the K1 capsule, it provides an efficient tool for the characterization and comparison of the capsular

polysaccharides of bacteria. 1988:451374 HCAPLUS <<LOGINID::20100316>> AN

DN 109:51374

OREF 109:8595a,8598a

- ΤI Polyacrylamide gel electrophoresis of the capsular polysaccharides of Escherichia coli K1 and other bacteria
- AU Pelkonen, Sinikka; Hayrinen, Jukka; Finne, Jukka
- CS Biocent., Univ. Basel, Basel, CH-4056, Switz.
- SO Journal of Bacteriology (1988), 170(6), 2646-53 CODEN: JOBAAY: ISSN: 0021-9193
- DT Journal
- T.A English
- OSC.G THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
- L6 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN

- TI Cleavage of the polysialosyl units of brain glycoproteins by a bacteriophage endosialidase. Involvement of a long oligosaccharide segment in molecular interactions of polysialic acid
- AB Polysialosyl chains containing a2-8-linked N-acetylneuraminic acid have been suggested to modulate the biol. activity of a neural cell adhesion mol. Polysialosyl glycopeptides isolated from developing brain were incubated with a bacteriophage containing endosialidase. Sialic acid oligomers of ≤7 residues long were liberated both from the glycopeptides and colominic acid. The substrate specificity of the endosialidase was studied with sialic acid oligomers of different sizes prepared from colominic acid. The endosialidase required the simultaneous presence adjacent to the site of cleavage of a min. of 3 sialic acid residues on the distal side and a min. of 5 sialic acid residues on the proximal (reducing end) side. From the fragments liberated by the enzyme, the existence of polysialic acid chains ≥12 residues long in the glycopeptides was concluded. This was also supported by the interaction of the glycopeptides with a meningococcal group B polysaccharide antiserum, which require ≥10 residues for binding. Thus, brain polysialosyl glycopeptides contain a long polysialic acid segment, which is also specifically needed for certain mol. interactions. The implications of the findings for the biol. properties of the neural cell adhesion mol. are discussed.

AN 1985:127776 HCAPLUS <<LOGINID::20100316>> DN 102:127776

OREF 102:19989a, 19992a

TI Cleavage of the polysialosyl units of brain glycoproteins by a bacteriophage endosialidase. Involvement of a long oligosaccharide segment in molecular interactions of polysialic acid

AU Finne, Jukka; Makela, P. Helena CS Dep. Biochem., Univ. Basel, Bas

CS Dep. Biochem., Univ. Basel, Basel, CH-4056, Switz. SO Journal of Biological Chemistry (1985), 260(2), 1265-70

CODEN: JBCHA3; ISSN: 0021-9258

DT Journal LA English

- OSC.G 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS RECORD (46 CITINGS)
- L6 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN TI Sialic acids. XII. Synthesis of colominic acid by a

sialyltransferase from Escherichia coli-K-235 GI For diagram(s), see printed CA Issue.

AB Partial purification of an S. coli sialyltransferase that transfers

1-14C-labeled N-acetylneuraminic acid (I) from 1-14C-labeled cytidine
5'-mono-phospho-N-acetylneuraminic acid to colominic acid (II)
has been achieved, the enzyme being detected in a particulate fraction.
Kinetics of the reaction and substrate specificity are reported. Both
endogenous II, bound to the enzyme fraction, and purified, soluble exogenous
II acted as I acceptors, the endogenous acceptor being much more
effective. The presence of 1.2M ammonium sulfate yielded a 4-fold
increase of I incorporation into the endogenous II, and was required for I
incorporation into the soluble exogenous II. Thus, chain elongation probably
proceeds at the nonreducing termini of the polymer, comparable to the
formation of glycogen, rather than at the reducing end

, as in the case of the bacterial lipopolysaccharides.

N 1971:547989 HCAPLUS <<LOGINID::20100316>>

DN 75:147989

OREF 75:23351a,23354a

TI Sialic acids. XII. Synthesis of colominic acid by a sialyltransferase from Escherichia coli-K-235

AU Kundig, F. Dodyk; Aminoff, David; Roseman, Saul

- CS Rackham Arthritis Res. Unit, Univ. Michigan, Ann Arbor, MI, USA
- SO Journal of Biological Chemistry (1971), 246(8), 2543-50

CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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(FILE 'HOME' ENTERED AT 16:11:49 ON 16 MAR 2010)

FILE 'HCAPLUS' ENTERED AT 16:11:59 ON 16 MAR 2010

L1 1163 S POLYSIALIC OR POLYSIALATE OR COLOMINIC
L2 2358 S (REDUCING END)

L3 15 S L1 AND L2

L4 280618 S CONJUGAT? L5 4 S L3 AND L4

L6 9 S L3 AND (PY<2004 OR AY<2004 OR PRY<2004)

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STN INTERNATIONAL SESSION SUSPENDED AT 16:13:04 ON 16 MAR 2010

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PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'HCAPLUS' AT 16:41:52 ON 16 MAR 2010
FILE 'HCAPLUS' ENTERED AT 16:41:52 ON 16 MAR 2010
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CA SUBSCRIBER PRICE 5.7-6.6

SESSION
-7.6.6
-7.6.7

=> s sialic acid 23865 SIALIC 5014277 ACID L7 19279 SIALIC ACID

(SIALIC(W)ACID)

=> s 12 and 17

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69 L2 AND L7
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=> s 14 and 18

1.8

L9 4 L4 AND L8

=> d 19 1-4 ti abs bib

L9 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Activated sialic acid derivatives for protein derivatization and conjugation

AB Derivs. of polysialic acids PSAs are synthesized, in which a reducing and/or non- reducing end terminal sialic

acid unit is transformed into a N-hydroxysuccinimide (NHS) group.

The derivs. may be reacted with substrates, for instance substrates containing amine or hydrazine groups, to form non-crosslinked/crosslinked

polysialylated compds. The substrates may, for instance, be

therapeutically useful drugs, peptides or proteins, or drug delivery systems.

AN 2006:886313 HCAPLUS <<LOGINID::20100316>>

DN 145:273580 TI Activated sial:

I Activated sialic acid derivatives for protein derivatization and conjugation

IN Jain, Sanjay; Papaioannou, Ioannis; Thobhani, Smita

PA Lipoxen Technologies Limited, UK

SO PCT Int. Appl., 61pp.

CODEN: PIXXD2

DT Patent

LA English

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IN 2007DN06400 A 20070831 IN 2007-DN6400 20070817
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CN 101160326 A 20080409 CN 2006-80012749 20071017
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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OS MARPAT 145:273580

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- 1.9 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Sialic acid derivatives for protein derivatization and conjugation
- AB Derivs. are synthesized of starting materials, usually polysaccharides, having sialic acid at the reducing terminal end, in which the reducing terminal unit is transformed into an aldehyde group. Where the polysaccharide has a sialic acid unit at the non-reducing end it may be passivated, for instance by converting into hydroxyl-substituted moiety. The derivs, may be reacted with substrates, for instance containing amine or hydrazine groups, to form non-cross-linked polysialylated compds. The substrates may, for instance, be therapeutically useful drugs peptides or proteins or drug delivery systems. Insulin and polysialylated insulin were tested for their ability

to reduce blood glucose level in normal female T/O outbred mice (22-24 g

- body weight). AN 2005:158700 HCAPLUS <<LOGINID::20100316>>
- DN 142:240674
- ΤI Sialic acid derivatives for protein derivatization and
- conjugation IN Jain, Sanjay; Laing, Peter; Gregoriadis, Gregory; Hreczuk-Hrist, Dale Howard; Papaoannou, Yiannis
- PA Lipoxen Technologies Limited, UK
- SO PCT Int. Appl., 82 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	3																		
	PATENT NO.							DATE											
ΡI	WO	2005	0169	74		A1 200502			0224		WO 2	004-0		20040812					
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 142:240674

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) OSC.G 1 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L9 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN
- Synthesis and Immunological Properties of N-Modified GM3 Antigens as ΤI Therapeutic Cancer Vaccines
- The problem of immunotolerance to GM3, an important tumor-associated AB trisaccharide antigen, seriously hinders its usage in cancer vaccine development. To solve this problem, the keyhole limpet hemocyanin (KLH) conjugates of a series of GM3 derivs. were synthesized and screened as therapeutic cancer vaccines. First, the β-linked anomeric azides of differently N-acylated GM3 analogs were prepared by a highly convergent procedure. Next, a pentencyl group was linked to the reducing end of the carbohydrate antigens following selective reduction of the azido group. The linker was thereafter ozonolyzed to give an aldehyde functionality permitting the conjugation of the antigens to KLH via reductive amination. Finally, the immunol. properties of the resultant qlycoconjugates were studied in C57BL/6 mice by assessing the titers of specific antibodies induced by the GM3 analogs. While KLH-GM3 elicited low levels of immune response, the KLH conjugates of N-propionyl, N-butanovl, N-iso-butanovl, and N-phenylacetyl GM3s induced robust immune reactions with antibodies of multiple isotypes, indicating significantly improved and T-cell dependent immune responses that lead to isotype switching, affinity maturation, and the induction of immunol. "memory". It was suggested that GM3PhAc-KLH is a promising vaccine candidate for glycoengineered immunotherapy of cancer with GM3 as the primary target.
- AN 2005:31569 HCAPLUS <<LOGINID::20100316>>
- DN 142:153773
 - Synthesis and Immunological Properties of N-Modified GM3 Antigens as Therapeutic Cancer Vaccines
- Pan, Yanbin; Chefalo, Peter; Nagy, Nancy; Harding, Clifford; Guo, Zhongwu AU Departments of Chemistry and Pathology, Case Western Reserve University, Cleveland, OH, 44106-7078, USA
- SO Journal of Medicinal Chemistry (2005), 48(3), 875-883

CODEN: JMCMAR; ISSN: 0022-2623 PB American Chemical Society DT Journal LA English OS CASREACT 142:153773 OSC.G 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS) RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L9 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN ΤI Synthesis and immunologic studies of conjugate vaccines made of modified gm3 antigens AB GM3 is an important antigen on melanoma, and it is the mol. basis of many studies on therapeutic vaccines for melanoma. However, the major problem with GM3 is immunotolerance, i.e., it fails to introduce immune reaction in melanoma patients. To overcome this problem, the KLHconjugates of sialyl N-modified GM3 antigens were prepared and studied. The key features of the synthesis were using the N-trifluoroacetyl sialic acid as the reaction intermediate for easier deprotection and further modification of GM3 and using an azido group at the reducing end of GM3 to facilitate the conjugation. Therefore, after glycosylation of 1-azido-2'3'6'-2,6-acetylated--A-lactose with peracetylated N-trifluoroacetylated sialic acid to get the protected GM3, the protection groups were removed and several acyls, e.g., propionic, n-butyric, i-butyric, phenylacetyl and 3,3,3-trifluoropropionic group, were introduced to the N-position. Finally, the azido group was reduced and linked to a 4-pentenoyl linker, which after ozonolysis was effectively conjugated with KLH by reductive amination. These conjugates were then studied in mice, which showed preliminarily to be more immunol. than the KLH conjugate of GM3. AN 2003:179461 HCAPLUS <<LOGINID::20100316>> Synthesis and immunologic studies of conjugate vaccines made of TΙ modified gm3 antigens ΑU Guo, Zhongwu; Pan, Yanbin CS Department of Chemistry, Case Western Reserve University, Cleveland, OH, 44106. USA SO Abstracts of Papers, 225th ACS National Meeting, New Orleans, LA, United States, March 23-27, 2003 (2003), CARB-063 Publisher: American Chemical Society, Washington, D. C. CODEN: 69DSA4 DT Conference: Meeting Abstract LA English => s oxidiz? or oxidat? 464994 OXIDIZ? 768506 OXIDAT? 1094518 OXIDIZ? OR OXIDAT?

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L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Synthesis, characterization and properties of sialylated catalase AB Colominic acid (CA), a a (2-28) N-acetylneuraminic acid (
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=> s 18 and 110

sialic acid) polymer (average mol. weight of 10 kDa) was activated by periodate oxidation of carbon 7 at the non-reducing end of the saccharide. The oxidized CA was then coupled to catalase by reductive amination in the presence of sodium cyanoborohydride. The extent of sialylation of catalase, estimated by ammonium sulfate precipitation as 3.8±0.4 (mean±S.D.) moles of CA per mol of catalase, did not improve significantly when depolymd. CA was used in the coupling reaction. At the end of the coupling reaction, sialylated catalase exhibited a two-fold (70%) retention of initial activity compared to enzyme controls (29-35%) subjected to the same conditions. Formation of sialvlated catalase was confirmed by ammonium sulfate or trichloroacetic acid precipitation, mol. sieve chromatog. and SDS-PAGE electrophoresis. Enzyme kinetics studies revealed an increase in the apparent Km of the enzyme from 70.0 (native) to 122.9 mmol 1-1 H202 (sialylated catalase) indicating a reduction of enzyme affinity for the substrate (hydrogen peroxide) on sialylation. Compared to native enzyme, sialylated catalase was much more stable in the presence of specific proteinases, completely resisting degradation by chymotrypsin and losing only some of its activity in the presence of trypsin. The increased stability conferred to catalase by sialylation agrees with similar observations on enzymes modified by other hydrophilic mols. (e.g., monomethoxypoly(ethyleneglycol)) and suggests that steric stabilization with the biodegradable polysialic acid may prove an alternative means to render therapeutic proteins more effective in vivo.

1996:173057 HCAPLUS <<LOGINID::20100316>>

DN 124:254533

OREF 124:47033a,47036a

TI Synthesis, characterization and properties of sialylated catalase

AU Fernandes, Ana I.; Gregoriadis, Gregory

CS Centre for Drug Delivery Research, School of Pharmacy, University of London, 29/39, Brunswick Square, London, WClN 1AX, UK

SO Biochimica et Biophysica Acta, Protein Structure and Molecular Enzymology (1996), 1293(1), 90-60 (ODEN: BBAEDZ, ISSN: 0167-4838

PB Elsevier B.V.

DT Journal

LA English

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Determination of the bonding-site of sialic acid

residues by periodate oxidation

AB cf. CA 57, 2300e. Sialic acid-containing oligosaccharides

from mother's milk and cow colostrum were studied by a series of reactions involving periodate oxidation, NaBH4 reduction, and mild acid hydrolvsis; thus was shown that the sialic acid

residue was ketosidically linked to either the 3- or 6-position of the

residue was ketosidically linked to either the 3- or 6-position of the D-galactose residue or to the 6-position of 2-acetamido-2-deoxy-D-glucose residue or to the 8-position of the sialic acid

residue. Chromatographic solvents were: 5:5:3:1 EtOAc-pyridine-H2O-AcOH (A); 7:2:2 EtOAc-AcOH-H2O (B); 6:4:3 BuOH-pyridine-H2O (C); or by the ascending method with solvent A. Mobilities were relative to solvent front (Rf), or to Na sialate (R8, or to Sial- $(2 \rightarrow 3)$ -Gal- $(1 \rightarrow$

 \rightarrow 4)-G (I)(CA 54,312h) (Rt). Oligosaccharide (0.02 millimole) was neutralized with 0.2N Na2CO3 and oxidized 24-28 hrs. at

 4° in the dark in a buffered solution of pH 4.4 containing 0.2M OAc- and 0.1M NaIO4; IO4- was 2.5 times the theoretical consumption. Excess NaIO4 was destroyed [(CH2OH)2 or (CH3CO)2], and the solution was reduced with NaBH4. Oligosaccharide degradation from the reducing

end was carried out by the following overoxidation: After the usual periodate oxidation and destruction of excess NaIO4, the

solution was adjusted to pH 7.5-8.0 with Na2CO3, kept 45-60 min. at room temperature to saponify the formyl ester at the reducing end , and readjusted to pH 4.4 with AcOH. After addition of excess NaIO4 and 24 hrs. overoxidation at 4°, excess NaIO4 was destroyed. The product of periodate oxidation and NaBH4 reduction was hydrolyzed: Hydrolysis of the glycolaldehyde acetal residue, with partial liberation of the oxidized sialic acid residue (C7 Sial) was carried out at room temperature, pH 1.5, for 6-12 hrs., at 37° for 1-2 hrs. A solution of 0.2M acidic compound underwent autohydrolysis, but a dilute solution had to be acidified to pH 1.5 with 0.2N H2SO4. For the neutral compound, 0.05N H2SO4 was used for room temperature hydrolysis. Hydrolysis at 85°, pH 1.5, for 75-90 min. caused liberation of C7 Sial and hydrolysis of the glycolaldehyde acetal residue, but the glycosidic linkages remained intact. Total hydrolysis was carried out 6-12 hrs. at 100° with 0.5-1.0N H2SO4; this destroyed C7 Sial. I (1.34 g.) was oxidized 28 hrs. at 4° with a precooled mixture of 10 cc. 0.2N Na2CO3, 85 cc. 0.5N OAc- buffer, pH 4.4, and 85 cc. 0.25M NaIO4. Excess NaIO4 was destroyed and the solution was passed through IR-120 (H+) resin on top of IR-45 (OH-) resin, and eluted with 250 cc. H2O. The eluate was adjusted to pH 6 with Na2CO3, evaporated to 5 cc. and reduced (NaBH4) to give 592 mg. (54%) C7 Sial-(2 → 3)Gal-(1 \rightarrow 2)-erythritol (II), R8 0.42 (B). II in 3 cc. H2O (pH of the solution 1.5) was heated 75 min. at 85° in a sealed tube. The diluted solution was passed through Dowex 1 + 4 (HCO3-), and eluted with 700 cc. H2O. The 3rd and 4th 50-cc. fractions gave 305 mg. 2-O-β-D-galactosyl-D-erythritol (III), m. 188-90° (90% EtOH), R&8 0.60 (B), Rf 0.31 (A). The column was next eluted with 1250 cc. 0.02M NH4HCO3, the eluate was passed through IR-120 (H+), neutralized with Na2CO3, and freeze-dried to give C7 Sial Na salt, (IV) 175 mg., Rf 0.28 (A), Rs 1.32 (Na salt, B), 1.60 (free acid, B). IV (40 mg.) in 1.2 cc. absolute MeOH heated 45 min. under reflux with 23 mg. o-phenylenediamine and kept 24 hrs. at 4° gave 28 mg. (54%) quinoxaline derivative, m. 204-6° (H2O), [α]20D - 112° (c 0.11, DMSO-H2O 1:1); the quinoxaline derivative of sialic acid m. 229°, [α]20D -102° (c 0.27, DMSO-H2O 1:1). Sialic acid Me ester Me glycoside (V) (235 mg.) in 4 cc. H2O containing 0.75 cc. N Na2CO3 was treated further with 1.5 cc. N Na2CO3 during 8 hrs. The solution was adjusted to pH 5 with 1.2 cc. 2N AcOH and kept 15 hrs. at 0° with 10 cc. 0.25M NaIO4, and reduced (NaBH4) to give 176 mg. (96%) Me glycoside (VI), of IV Rf 0.29 (A), R> 1.61 (Na salt, B), 3.00 (free acid, B), decomposed at 150° without melting (MeOH-Et20-petr. ether), [α]20D -62.3deg; (c 0.5, MeOH). VI (75 mg.) in 25 cc. absolute MeOH stirred 5.5 hrs. with 250 mg. MeOH-washed Dowex 50 (H+) gave 42 mg. Me ester (VII) of VI, m. 107-9° (MeOH-Et20), Rf 0.81 (A), Rs 3.92 (B). VII (5 mg.) in 0.3 cc. MeOH reduced (NaBH4) gave "N-acetylheptulosaminol" Me glycoside, Rf 0.65 (A), R3 2.66 (B), hydrolysis of which with 0.1N H2SO4 for 1 hr. at 85° gave "N-acetylheptulosaminol" Rf 0.54 (A), Rs 1.80 (B). Similar reaction of V gave "N-acetylnonulosaminol" Me glycoside, Rf 0.53 (A), R3 1.66 (B), hydrolysis of which gave "N-acetylnonulosaminol," Rf 0.45 (A), R3 1.16 (B). Sial- $(2 \rightarrow 3)$ -Gal (2.35 mg.) on NaBH4 reduction gave compound with Rs 0.83 (B) and Rf 0.163 (A), autohydrolysis of which in 0.025 cc. H2O for 1 hr. at 85° gave IV and lyxitol. 3'-(N-Glycolylneuraminyl)lactose (3.3 mg.) from cow colostrum on NaBH4 reduction gave compound with R3 0.36 (B), partial hydrolysis of which gave III and compound with Rs 1.07 (B). Gal-(1 \rightarrow 3)-GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow 4)-G (VIII) (CA 50, 14564a) (70 mg.) was periodate-oxidized, and NaBH4reduced to give compds. with Rf 0.32 and 0.40 (A); hydrolysis with 0.5 cc. 0.05N

H2SO4 for 75 min. at 85° gave glycerol (IX), Rf 0.61 (A), 26 mg. (54%) of $GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow 2)$ -erythritol (X), Rf 0.255

or

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(A), m. 259-61° (85% EtOH), and 4.5 mg. (13°o) GNAc-(1
     → 2)-arabinitol (XI), Rf 0.352 (A). Overoxidation of 35 mg. VIII
     gave 9 mg. (51%) of XI. Sial-(2 \rightarrow 3)-Gal(1 \rightarrow 3)-GNAc-(1
     \rightarrow 3)-Gal-(1 \rightarrow 4)-G (XII) (CA 57, 2300e) (10 mg.) gave C7
     Sial-(2 \rightarrow 3)-Gal-(1 \rightarrow 3)-GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow
     2)-erythritol (XIII), Rt 0.69 (A), XIII heated 75 min. at 85° at pH
     1.5 gave IV and Gal-(1 \rightarrow 3)-GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow
     2) erythritol (XIV), Rf 0.12 (A), Rt 1.23 (A); the XIII-containing mixture also
     gave small amts, of C7 Sial-(2 \rightarrow 3)-Gal-(1 \rightarrow 3)-GNAc-(1
     → 2)-arabinitol (XV), Rt 0.92 (A). XV heated at 85° at pH
     1.5 gave IV and Gal-(1 → 3)-GNAc-(1 → 2)-arabinitol (XVI).
     Rt 1.66 (A). XII (20 mg.) was degraded to 3 mg. XIV and 1 mg. VXI
     isolated by paper chromatography in solvent A. XIV (1.3 mg.) in 0.2 cc.
     0.5N H2SO4 was heated 15 min. at 100°, SO4- was removed by MIH
     (OAc-), ascending paper chromatography in A showed compds. with the
     following Rf: erythritol (XVII), 0.50; GNAc, 0.51; galactose, 0.34; III,
     0.31; Gal-(1 → 3)-GNAc (XVIII), 0.34; GNAc-(1 → 3)-Gal
     (XIX), 0.26; and Gal-(1 \rightarrow 3)GNAc-(1 \rightarrow 3)-Gal (XX). XVI in N
     H2SO4 heated 12 hrs. at 100° gave lyxitol (XXI), Rf 0.44 (A); GN,
     0.25; Gal, 0.34; and GN(1 \rightarrow 2)-arabinitol. XII (5 mg.) was
     overoxidized and reduced to give XV. Sial-(2 → 6)-Gal-(1 →
     4)-G (XXII), Rt 0.76 (A), was obtained in 200-400 mg. yield from 1 1.
     mother's milk. XXII (300 mg.) in 6 cc. 0.01N H2SO4 was kept 64 hrs. at
     40° the solution was desalted with Ba(OAc)2, IR-120 (H+) (12. +
     20 cm.), and MIH (OAc-) (1.8 + 20 cm.) to give 144 mg. (85%)
     lactose, [\alpha]23D 54.6° (c 1, H2O). The MIH-column was eluted
     with 0.05M NaOAc to give 139 mg. (95%) sialic acid
     (XXIII). XXIII ( 120 mg.) gave 149 mg. di-Et dithioacetal lactone,
     recrystd. from H2O, 37 mg., [\alpha]25D -84° (c 1, MeOH). XXII
     (830 mg.) in 3 cc. H2O and 200 cc. MeOH was treated at 0° with
     CH2N2-Et2O. The residue from evaporation was methylated (CA 50, 16812i).
     Methanolysis, removal of sialyl derivative, and acid hydrolysis gave a mixture
     of methylated hexoses, which was chromatographed on 110 g. Celite column
     with H2O-saturated BuOH to give 120 mg. 2,3,6-tri-O-methylD-glucose and 120
     mg. 2,3,4-tri-O-methyl-D-galactose, the latter was distilled under high
     vacuum, and recrystd. from EtOAc-cyclohexane, [α]22D 135° (5
     min.) → 108.5° (12 min.) (c 0.46, H2O). XXII (19.5 mg.) on
     overoxidn. and reduction gave a compound (XXIV), Rs 0.76 (B). XXIV in 0.1 cc.
     H2O kept at 27° gave XVII and C7 Sial-(2 → 1)-Glycerol
     (XXV), Rs 1.15 (B). XXV in 0.05N H2SO4 heated 75 min. at 85° gave
     IV and IX. Sial-(2 \rightarrow 6)-Gal-(1 \rightarrow 4)-GNAc (XXVI) (6.7 mg.)
     gave on reduction a compound (XXVII) of R3 0.79 (B). XXVII in 0.067 cc. H20
     kept 5 hrs. at 37° to give XXV and 2-acetamido-2-deoxy-D-glucitol
     (XXVIII), Rf 0.45 (A), Rs 1.27 (B). XXVIII hydrolyzed 12 hrs. at
     100° in 0.5NH2SO4 gave 2-amino-2-deoxy-D-glucitol, Rf 0.23 (A).
     Sial-(2 \rightarrow 6)Gal-(1 \rightarrow 4)-GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow
     4)-G (XXIX) (10 mg.) from mother's milk by reduction gave compound (XXX) of Rt
     1.06 (A), Rs 0.27 (B). XXX in 0.05 cc. H2O kept 9 hrs. at 37° gave
     XXV, X, Rs 0.32 (B), and XI, Rs 0.69 (5); XXX heated 75 min. at 85°
     gave IV, IX, and X. Gal-(1 \rightarrow 3)-[Sial-(2 \rightarrow 6)-GNAc]-(1
     → 3)-Gal-(1 → 4)-G (XXXI) (50 mg.) from mother's milk by
     reduction gave a compound (XXXII) of Rt 1.11 (A) and some overoxidized product
     (XXXIII) of Rt 1.43 (A). Autohydrolysis of XXXII for 2 hrs. at pH 1.5 at
     37° gave IX, 3.6 mg. XXXII, and 4.0 mg. of C7 Sial-(2 →
     6)-GNAc-(1 \rightarrow 3)-Gal-(1 \rightarrow 2)-erythritol (XXX1V), Rt 0.84 (A).
     XXXII (0.5 mg.) in 0.025 cc. H2O heated 80 min. at 85° gave IV, IX,
     and X; XXXIV (0.5 mg.) gave IV and X. XXXIV (3 mg.) by reduction gave a
compound
     (XXXV) of Rt 1.76 (A), Rs 0.65 (B). Mild hydrolysis of XXXV at 37°
```

at pH 1.5 gave no XXV. Total hydrolysis of XXXV in N H2SO4 at 100°

was

```
for 12 hrs. gave D-galactose and IX, but no 2-amino-2-deoxy-D-glucose.
     Overoxidation of 20 mg. XXXI followed by reduction gave XXXIII. XXXIII by
     total hydrolysis gave 2-amino-2-deoxy-D-glucose, XXI, and IX; mild
     hydrolysis of XXXIII gave IV, IX, and XI. Oxidation and reduction of XXXIII (8
     mg.) gave compound (XXXVI), Rt 1.73 (A). XXXVI gave on total hydrolysis IX
     and 2-amino-2-deoxy-D-glucose. Similarly, Sial-(2 → 8)-Sial-(2
     → 3)-Gal-(1 → 4)-G (XXXVII) (4.6 mg.), Rt 0.47 (A) from cow
     colostrum gave compound (XXXVIII), Rf 0.69 (A). Mild acid hydrolysis of
     XXXVIII at 80° gave IV, III, and XXIII. Lactone of XXXVII obtained
     by freeze-drying of acidic aqueous solution was degraded as usual to give
     "N-acetylheptulosaminol" identical with that from degradation of VII. XII
     (5 mg.) or XXXI (5 mg.) was neutralized with 0.1N Na2CO3, diluted with H2O
     to 0.5 cc., and heated 10 min. at 100° with 0.5 cc. 0.1N Na2CO3.
     After cooling, treatment with IR-120 (H+), and freeze-drying, the residue
     was taken up in 0.1 cc. H2O. GNAc (1 mg.) in 1 cc. 0.05N Na2CO3 was
     treated the same way. XXII by the above alkalitreatment showed "chromogen
     I," stained violet with p-DAB, Rf 0.58 (C), 0.68 (A), traces of "chromogen
     III, "Rf 0.74 (C), 0.83 (A), and Sial-(2 → 3)-Gal, Rt 1.39 (A).
     XXXI by the alkali treatment gave sialylchromogen I, Rf 0.09 (C), 0.30
     (A), and sialylchromogen III, Rf 0.22 (C), 0.41 (A). The solution
     neutralized to pH 6.5 and treated 28 hrs. at 37° with neuraminidase
     showed chromogen I and XXIII.
AN
     1965:480931 HCAPLUS <<LOGINID::20100316>>
DN
    63:80931
OREF 63:14954g-h,14955a-h,14956a-e
    Determination of the bonding-site of sialic acid
     residues by periodate oxidation
ΑU
     Kuhn, Richard; Gauhe, Adeline
CS
    Max-Planck-Inst., Heidelberg, Germany
     Chemische Berichte (1965), 98(2), 395-413
SO
     CODEN: CHBEAM: ISSN: 0009-2940
    Journal
     German
LA
OSC.G
             THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
       21
=> d his
     (FILE 'HOME' ENTERED AT 16:11:49 ON 16 MAR 2010)
     FILE 'HCAPLUS' ENTERED AT 16:11:59 ON 16 MAR 2010
L1
           1163 S POLYSIALIC OR POLYSIALATE OR COLOMINIC
L2
           2358 S (REDUCING END)
L3
             15 S L1 AND L2
L4
         280618 S CONJUGAT?
L5
              4 S L3 AND L4
L6
              9 S L3 AND (PY<2004 OR AY<2004 OR PRY<2004)
L7
          19279 S SIALIC ACID
             69 S L2 AND L7
L8
L9
             4 S L4 AND L8
L10
        1094518 S OXIDIZ? OR OXIDAT?
              4 S L8 AND L10
L11
L12
              2 S L11 NOT L9
=> log hold
COST IN U.S. DOLLARS
                                                 SINCE FILE
                                                                 TOTAL
                                                      ENTRY
                                                               SESSION
FULL ESTIMATED COST
                                                      58.14
                                                                 58.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE
                                                                TOTAL
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DT

ENTRY SESSION -12.75 -12.75

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* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'HCAPLUS' AT 17:02:16 ON 16 MAR 2010 FILE 'HCAPLUS' ENTERED AT 17:02:16 ON 16 MAR 2010 COPYRIGHT (C) 2010 AMERICAN CHEWICAL SOCIETY (ACS) f

COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 58.14	TOTAL SESSION 58.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE	SINCE FILE ENTRY -12.75	TOTAL SESSION -12.75
=> file registry COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 58.14	TOTAL SESSION 58.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE	SINCE FILE ENTRY -12.75	TOTAL SESSION -12.75

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 MAR 2010 HIGHEST RN 1210111-73-1 DICTIONARY FILE UPDATES: 15 MAR 2010 HIGHEST RN 1210111-73-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

=>

Uploading C:\Program Files\STNEXP\Queries\10568043reducingend.str

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7 10 12 13 14 15 16 18 19 20 21 22 23 27 29 30 ring nodes:
1 2 3 4 5 6 chain bonds:
5-7 5-16 7-27 10-12 10-15 10-19 13-14 13-18 18-22 18-29 19-23 19-30 20-21 ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 8xact/norm bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-27 10-12 18-29 19-30 8xact bonds:
5-16 10-15 10-19 13-14 13-18 18-22 19-23 20-21
```

chain nodes :

G2:[*1],[*2]

G3:H,[*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 10:CLASS 12:CLASS

13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS

9 ANSWERS

23:CLASS 27:CLASS

29:CLASS 30:CLASS

L13 STRUCTURE UPLOADED

=> s 113 SAMPLE SEARCH INITIATED 17:02:34 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 86680 TO ITERATE

2.3% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 1716070 TO 1751130 PROJECTED ANSWERS: 6617 TO

L14 9 SEA SSS SAM L13

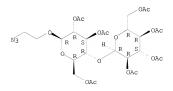
=> d 114 scan

L14 9 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

β-D-Glucopyranoside, 2-azidoethyl

 $4-0-(2,3,4,6-\text{tetra}-0-\text{acetyl}-\alpha-D-\text{glucopyranosyl})-$, 2,3,6-triacetate MF C28 H39 N3 O18

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

- L14 9 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
- IN α-D-Glucopyranoside, 2-azidoethyl 2-deoxy-2-fluoro-, 3,4,6-triacetate
- MF C14 H20 F N3 O8

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L14 9 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
- IN Eicosanamide, N-[2-[(4-0-β-D-galactopyranosyl-β-D-glucopyranosyl)oxylethyl]-
- MF C34 H65 N O12

Absolute stereochemistry.

C82 H89 N3 O33 P6

ME

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L14 9 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

03'-5'-01'-de (6-amino-9H-purin-9-y1)-2'-deoxyadenylyl(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxyadenylyl(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxyadenylyl(3'-5')-(1'\xi)-1'-de (6-amino-9H-purin-9-y1)-2'-deoxyadenylyl(1E)-2-[4-(dicyanomethylene)-6-methyl-4H-pyran-2y1]ethenyl]phenyl]methylamino]ethoxy]denylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-de (6-amino-9H-purin-9-y1)-2'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(1-pyrenyl)-\alpha-adenylyl-(3'-5')-1'-deoxy-1'-(3'

PAGE 1-B

PAGE 2-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 113 sss full

FULL SEARCH INITIATED 17:03:15 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1734861 TO ITERATE

100.0% PROCESSED 1734861 ITERATIONS SEARCH TIME: 00.00.08

6152 ANSWERS

L15 6152 SEA SSS FUL L13

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 192.03 250.39 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

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FILE COVERS 1907 - 16 Mar 2010 VOL 152 ISS 12
FILE LAST UPDATED: 15 Mar 2010 (20100315/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 115 L16 3680 L15

=> s sialic or polysialic or colominic

23865 SIALIC

861 POLYSIALIC 307 COLOMINIC

L17 24275 SIALIC OR POLYSIALIC OR COLOMINIC

=> s 116 and 117 L18 84 L16 AND L17

=> s 118 and (PY<2004 or AY<2004 or PRY<2004)

24050493 PY<2004 4827512 AY<2004 4301088 PRY<2004

46 L18 AND (PY<2004 OR AY<2004 OR PRY<2004)

=> s 119 not (16 or 19 or 112)

0 46 L19 NOT (L6 OR L9 OR L12)

=> s oxidiz? or oxidat? 464994 OXIDIZ?

768506 OXIDAT? L21 1094518 OXIDIZ? OR OXIDAT?

=> s 120 and 121

T.19

L22 0 L20 AND L21

=> file stnguide

COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 5.82	TOTAL SESSION 256.21
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FILE LAST UPDATED: 15 Mar 2010 (20100315/20)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

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This file contains CAS Registry Numbers for easy and accurate substance identification.

- L24 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Oligosaccharide therapeutic compositions for use in prophylaxis or treatment of diarrheas
- AB The invention provides a therapeutic composition comprising purified fractions of compds. being or containing a pathogen-inhibiting oligosaccharide sequence for use as a medicament. The invention especially describes an oligosaccharide-containing substance or receptor binding to diarrheagenic Escherichia coli and/or zoonotic Helicobacter species, and use thereof in e.g. pharmaceutical, nutritional and other compns. for prophylaxis and treatment of conditions due to the presence of Escherichia coli and/or zoonotic Helicobacter species. The invention is also directed to the use of the receptors for diagnostics of Escherichia coli and/or zoonotic Helicobacter species.
- AN 2004:20506 HCAPLUS <<LOGINID::20100316>>
- DN 140:87707
- TI Oligosaccharide therapeutic compositions for use in prophylaxis or treatment of diarrheas
- IN Angstroem, Jonas; Teneberg, Susann; Saarinen, Juhani; Satomaa, Tero; Roche, Niamh; Natunen, Jari; Miller-Podraza, Halina; Karlsson, Karl-Anders; Milh. Maan Abul
- PA Biotie Therapies Ov. Finland
- SO PCT Int. Appl., 156 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PA:		NO.			KIND DATE							ION I	DATE					
PI	WO	0 2004002495				A1 20040108							20030630 <						
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,	
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw				
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			KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
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		2003																	
		1531									EP 2	003-	7616	05		2	0030	630 <	
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								RO,											
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	ΑT	4284	30			T		2009	0515		AT 2	003-	7616	05		2	0030	630 <	:
		2004																	
		2006										005-	5182	97		2	0050	824 <	:
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		2003																	
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

TI Sialoside Specificity of the Siglec Family Assessed Using Novel
Multivalent Probes: Identification of Potent Inhibitors of

Myelin-Associated Glycoprotein

- Ten of the 11 known human siglecs or their murine orthologs have been AB evaluated for their specificity for over 25 synthetic sialosides representing most of the major sequences terminating carbohydrate groups of glycoproteins and glycolipids. Anal. has been performed using a novel multivalent platform comprising biotinylated sialosides bound to a streptavidin-alkaline phosphatase conjugate. Each siglec was found to have a unique specificity for binding 16 different sialoside-streptavidin-alkaline phosphatase probes. The relative affinities of monovalent sialosides were assessed for each siglec in competitive inhibition studies. The quant, data obtained allows a detailed anal, of each siglec for the relative importance of sialic acid and the penultimate oligosaccharide sequence on binding affinity and specificity. Most remarkable was the finding that myelin-associated glycoprotein (Siglec-4) binds with 500-10,000-fold higher affinity to a series of monoand di-sialylated derivs. of the O-linked T-antigen (Galβ(1-3)-GalNAcαOThr) as compared with α-methyl-NeuAc.
- AN 2003:613961 HCAPLUS <<LOGINID::20100316>>

DN 139:334573

- ΤI Sialoside Specificity of the Siglec Family Assessed Using Novel Multivalent Probes: Identification of Potent Inhibitors of Mvelin-Associated Glycoprotein
- AU Blixt, Ola; Collins, Brian E.; van den Nieuwenhof, Ingrid M.; Crocker, Paul R.: Paulson, James C.
- Departments of Molecular Biology and Molecular and Experimental Medicine, Scripps Research Institute, La Jolla, CA, 92037, USA
- Journal of Biological Chemistry (2003), 278(33), 31007-31019 SO CODEN: JBCHA3; ISSN: 0021-9258
- PB American Society for Biochemistry and Molecular Biology
- DT Journal
- LA English
- OS CASREACT 139:334573
- OSC.G 72 THERE ARE 72 CAPLUS RECORDS THAT CITE THIS RECORD (72 CITINGS) RE.CNT 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L24 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN
- Preparation and biological evaluation of radiolabeled antibodies with selected carbohydrate modifications
- Two carbohydrates, N-acetylgalactosamine (GalNAc) and galactose-B-1,3-GalNAc were attached to human IgG (hIgG) by a novel linking reagent, hexafluoroglutaric acid di-Me ester. Fluorine-19 NMR signals were used for the determination of the conjugation ratio. A third carbohydrate, sialic acid, was conjugated via reductive amination and the conjugation ratio determined by a resorcinol assay. The biol. behavior of these radioiodinated antibodies with carbohydrate modification in normal mice indicates an enhanced liver uptake at 15 min post-injection with an associated change in circulating blood levels occurs for the galactose-based hIgG prepns. However, no significant differences in the biodistribution were observed for the sialic acid conjugate. These studies confirm the potential of carbohydrate antibody conjugation for modifying the

behavior of antibodies in immunoscintigraphy and radioimmunotherapy.

1993:554983 HCAPLUS <<LOGINID::20100316>>

DN 119:154983

OREF 119:27661a, 27664a

- Preparation and biological evaluation of radiolabeled antibodies with selected carbohydrate modifications
- ΔU Qi, P.; Sykes, T. R.; Koganty, R. R.; Selvaraj, S.; Noujaim, A. A.
- CS Fac. Pharm., Univ. Alberta, Edmonton, AB, Can.
- Nuclear Medicine and Biology (1993), 20(4), 453-9

CODEN: NMBIEO; ISSN: 0883-2897

- DT Journal
- LA English
- L24 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN
- TI Use of synthetic antigens with the carbohydrate structure of asialoglycophorin A for the specification of Thomsen-Friedenreich antibodies
- AB The panagglutination phenomenon described by Thomsen and Friedenreich (TF) is due to the reaction of naturally occurring TF-antibodies with the carbohydrate group β-D-Gal-(1-3)-D-GalNAc of desialylated glycophorin A, the major glycoprotein component of the erythrocyte membrane. The specificity of human TF-antibodies reacting with this disaccharide was investigated by hemagglutination inhibition assay and RIA using various synthetic oligosaccharides and neoglycoproteins as well as asialoglycophorin A. TF-antibodies represent a heterogeneous mixture of carbohydrate-specific antibodies. The disaccharide β -D-Gal(1-3)-D-GalNAc is the common structure recognized by all TF-antibodies. However, the conjugation mode of the carbohydrate to the carrier protein is important for defining the specificity of different subpopulations of TF-antibodies. The immunol. reaction depends on the configuration of the glycosidic linkage as well as on the chemical nature of the aglycon, which is coupled to the disaccharide. The heterogeneity of natural TF-antigens may be due to the wide distribution of the carbohydrate structure β-D-Gal(1-3)-D-GalNAc. The characterization of TF- or TF-like antibodies directed to particular natural TF-antigens (e.g. asialoglycophorin A, tumor TF-antigens, glycolipids, bacterial antigens) requires TF-analogs, which contain the addnl. mol. regions together with the TF-disaccharide. These structures, apart from the TF-hapten, are obviously important for defining the
- immunodeterminant group of TF-antigens of different origin.
 AN 1985:469495 HCAPLUS <<LOGINID::20100316>>
- DN 103:69495
- OREF 103:11169a,11172a
- TI Use of synthetic antigens with the carbohydrate structure of asialoglycophorin A for the specification of Thomsen-Friedenreich antibodies
- AU Hoeppner, W.; Fischer; Poschmann, A.; Paulsen, H.
- CS Abt. Klin. Immunpathol., Univ.-Kinderklin., Hamburg, D-2000/20, Fed. Rep. Ger.
- SO Vox Sanguinis (1985), 48(4), 246-53
- CODEN: VOSAAD; ISSN: 0042-9007
- DT Journal
- LA English